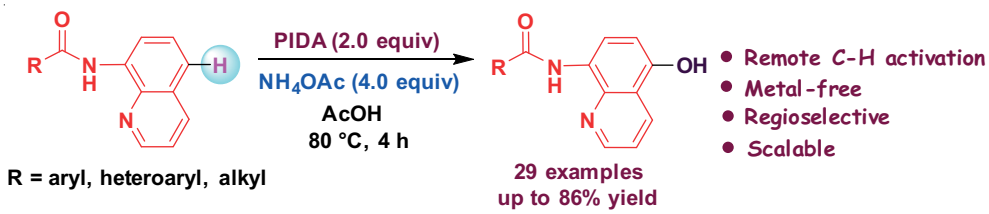
DR-22. METAL-FREE C-5 HYDROXYLATION OF 8-AMINOQUINOLINE AMIDE

S. Mondal, A. Hajra

Department of Chemistry, Visva-Bharati (A Central University), Santiniketan, WB-731235, India

E-mail: mondal.susmita2000@gmail.com

Quinoline is an important scaffold in pharmaceuticals, natural products, and material science [1]. Chloroquine, livofloxacin, and imiquimod containing this scaffold are used as antimalarial, antibiotic, and anticancer reagents respectively [2]. Regioselective C-5 halogenation, sulfonylation, nitration, amination, fluoroalkylation, allylation, alkylation, chalcogenation, acetoxylation, esterification have been done at 8-aminoquinoline amide using different metal-catalysts (Fe, Co, Pd, Ni, and Cu) or under metal-free conditions [3]. Moreover, hydroxyl substituent in quinoline derivatives is a vital group in pharmaceutical intermediate widely used for the synthesis of many biologically active molecules. However, to the best of our knowledge, there is no direct regioselective C-5 hydroxylation of 8-aminoquinoline amide. Considering the importance of hydroxylated quinoline derivatives, herein we report a PIDA-mediated direct hydroxylation on quinoline moiety at C-5 position [4].



References

1. *Recht J.*, *Ashley E.*, *White N.* Safety of 8-Aminoquinoline Antimalarial Medicines. World Health Organization, 2014.

2. *Kumar S.*, *Bawa S.*, *Gupta H.* Biological Activities of Quinoline Derivatives // Mini-Reviews Med. Chem. 2009. Vol. 9, № 14. P. 1648–1654.

3. Divergence between Organometallic and Single-Electron-Transfer Mechanisms in Copper(II)-Mediated Aerobic C–H Oxidation / A. M. Suess [et al.] // J. Am. Chem. Soc. 2013. Vol. 135, № 26. P. 9797–9804.

4. *Mondal S.*, *Hajra A.* Metal-Free C-5 Hydroxylation of 8-Aminoquinoline Amide // J. Org. Chem. 2018. Vol. 83, № 18. P. 11392–11398.